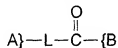


WE CLAIM:

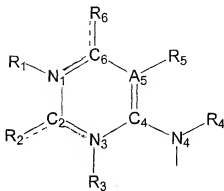
1. A pyrimidine derivative or analogue having the schematic structure:



where:

(a) A is an amino-substituted six-membered heterocyclic moiety of formula

(I)



where:

(i) if the bond between N₁ and C₆ is a single bond, then the bond between C₆ and R₆ is a double bond, R₆ is O or S, and R₁ is hydrogen, alkyl, aralkyl, cycloalkyl, or heteroaralkyl;

(ii) if the bond between N₁ and C₆ is a double bond, then the bond between C₆ and R₆ is a single bond, R₁ is not present, and R₆ is hydrogen, halo, amino, OQ₁, SQ₁, NHNH₂, NHOQ₁, NQ₁Q₂, or NHQ₁, where Q₁ and Q₂ are alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, heteroaroyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, or heteroaralkylsulfonyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S, and when Q₁ and Q₂ are present together and are alkyl, they can be taken together to form a 5- or 6- membered ring which can contain 1 other heteroatom which can be N, O, or S, of which the N can be further substituted with Y₂, where Y₂ is alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, alkanoyl,

aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, alkoxycarbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, aralkoxy carbonyl, heteroaralkoxy carbonyl, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, aralkylaminocarbonyl, or heteroaralkylaminocarbonyl, in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S;

(iii) if the bond between C₂ and N₃ is a single bond, then the bond between C₂ and R₂ is a double bond, R₂ is O or S, and R₃ is hydrogen or alkyl;

(iv) if the bond between C₂ and N₃ is a double bond, then the bond between C₂ and R₂ is a single bond, R₃ is not present, and R₂ is hydrogen, alkyl, aralkyl, cycloalkyl, heteroaralkyl, halo, amino, OQ₁, SQ₁, NHHN₂, NHOQ₁, NQ₁Q₂, or NHQ₁, where Q₁ and Q₂ are alkyl, aralkyl, heteroaralkyl, aryl, heteroaroyl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, heteroaroyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, or heteroaralkylsulfonyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S, and when Q₁ and Q₂ are present together and are alkyl, they can be taken together to form a 5- or 6-membered ring which can contain 1 other heteroatom which can be N, O, or S, of which the N can be further substituted with Y₃, where Y₃ is alkyl, aryl, heteroaroyl, aralkyl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, alkoxycarbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, aralkoxy carbonyl, heteroaralkoxy carbonyl, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, aralkylaminocarbonyl, or heteroaralkylaminocarbonyl, in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S;

(v) R₄ is hydrogen, alkyl, aralkyl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkoxycarbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, alkylaminocarbonyl, arylaminocarbonyl, or heteroarylaminocarbonyl;

(vi) A₅ is carbon or nitrogen;

(vii) if A_5 is nitrogen, then R_5 is not present;

(viii) if A_5 is carbon, then R_5 is hydrogen, amino, alkyl, alkoxy, halo, nitro, aryl, cyano, alkenyl, or aralkyl;

(x) (ix) N_4 is bonded to L;

(b) L is a hydrocarbyl moiety of 1 to 6 carbon atoms that can be cyclic, with the hydrocarbyl moiety being optionally substituted with one or more substituents selected from the group consisting of lower alkyl, amino, hydroxy, lower alkoxy, lower alkylamino, lower alkylthio and oxo; and

(c) B is $-OZ$ or $N(Y_1)-D$, where Z is hydrogen, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, or heteroaralkyl, D is a moiety that promotes absorption of the derivative or analogue, and Y_1 is hydrogen, alkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, which, when taken with D, can form a cyclic 5- or 6-membered saturated structure which can contain one other heteroatom which can be O, N, or S, of which N can be further substituted with Y_4 , where Y_4 is alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, aralkoxycarbonyl, heteroaralkoxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, aralkylaminocarbonyl, or heteroaralkylaminocarbonyl, in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S.

2. The pyrimidine derivative or analogue of claim 1 wherein A_5 is carbon and the six-membered heterocyclic moiety is a pyrimidine moiety.

3. The pyrimidine derivative or analogue of claim 2 wherein R_2 is O and R_3 is hydrogen.

4. The pyrimidine derivative or analogue of claim 3 wherein R_5 is hydrogen, R_6 is amino, and the pyrimidine moiety is cytosine.
5. The pyrimidine derivative or analogue of claim 3 wherein R_1 is hydrogen, R_5 is methyl, R_6 is O, and the pyrimidine moiety is thymine.
6. The pyrimidine derivative or analogue of claim 3 wherein R_1 is methyl, R_5 is hydrogen, R_6 is O, and the pyrimidine moiety is uracil.
7. The pyrimidine derivative or analogue of claim 3 wherein R_1 is hydrogen, R_5 is methyl, R_6 is O, and the pyrimidine moiety is 3-methyluracil.
8. The pyrimidine derivative or analogue of claim 3 wherein R_1 is methyl, R_5 is methyl, R_6 is O, and the pyrimidine moiety is 3-methylthymine.
9. The pyrimidine derivative or analogue of claim 3 wherein R_5 is hydrogen, R_6 is methylamino, and the pyrimidine moiety is 4-methylcytosine.
10. The pyrimidine derivative or analogue of claim 3 wherein R_5 is methyl, R_6 is amino, and the pyrimidine moiety is 5-methylcytosine.
11. The pyrimidine derivative or analogue of claim 3 wherein R_5 is hydroxymethyl, R_6 is amino, and the pyrimidine moiety is 5-hydroxymethylcytosine.

12. The pyrimidine derivative or analogue of claim 3 wherein R₁ is hydrogen, R₅ is hydroxyl, R₆ is O, and the pyrimidine moiety is 5-hydroxyuracil.
13. The pyrimidine derivative or analogue of claim 3 wherein R₁ is hydrogen, R₅ is carboxymethyl, R₆ is O, and the pyrimidine moiety is 5-carboxymethyluracil.
14. The pyrimidine derivative or analogue of claim 3 wherein R₁ is hydrogen, R₅ is hydroxymethyl, R₆ is O, and the pyrimidine moiety is 5-hydroxymethyluracil.
15. The pyrimidine derivative or analogue of claim 2 wherein R₂ is S and R₃ is hydrogen.
16. The pyrimidine derivative or analogue of claim 15 wherein R₁ is hydrogen, R₅ is hydrogen, R₆ is O, and the pyrimidine moiety is 2-thiouracil.
17. The pyrimidine derivative or analogue of claim 15 wherein R₁ is hydrogen, R₅ is methylamino, R₆ is O, and the pyrimidine moiety is 5-methylamino-2-thiouracil.
18. The pyrimidine derivative or analogue of claim 15 wherein R₁ is hydrogen, R₅ is methyl, R₆ is O, and the pyrimidine moiety is 5-methyl-2-thiouracil.
19. The pyrimidine derivative or analogue of claim 15 wherein R₅ is hydrogen, R₆ is amino, and the pyrimidine derivative or analogue is 2-thiocytosine.
20. The pyrimidine derivative or analogue of claim 2 wherein R₂ is amino and the bond between C₂ and N₃ is a double bond.

21. The pyrimidine derivative or analogue of claim 20 wherein R₁ is hydrogen, R₅ is hydrogen, R₆ is O, and the pyrimidine moiety is 2-aminopyrimidinone.

22. The pyrimidine derivative or analogue of claim 20 wherein R₅ is hydrogen, R₆ is Cl, and the pyrimidine moiety is 2-amino-4-chloropyrimidine.

23. The pyrimidine derivative or analogue of claim 2 wherein R₂ is hydrogen and the bond between C₂ and N₃ is a double bond.

24. The pyrimidine derivative or analogue of claim 23 wherein R₅ is hydrogen, R₆ is Cl, and the pyrimidine moiety is 4-chloropyrimidine.

25. The pyrimidine derivative or analogue of claim 23 wherein R₅ is amino, R₆ is Cl, and the pyrimidine moiety is 5-amino-4-chloropyrimidine.

26. The pyrimidine derivative or analogue of claim 23 wherein R₅ is methyl, R₆ is Cl, and the pyrimidine moiety is 4-chloro-5-methylpyrimidine.

27. The pyrimidine derivative or analogue of claim 23 wherein R₅ is hydroxymethyl, R₆ is Cl, and the pyrimidine moiety is 4-chloro-5-hydroxymethylpyrimidine.

28. The pyrimidine derivative or analogue of claim 23 wherein R₅ is carboxymethyl, R₆ is Cl, and the pyrimidine moiety is 4-chloro-5-carboxymethylpyrimidine.

29. The pyrimidine derivative or analogue of claim 23 wherein R₁ is hydrogen, methyl, or ethyl, R₅ is hydrogen, methyl, or ethyl, and R₆ is O.

30. The pyrimidine derivative or analogue of claim 29 wherein R₁ is hydrogen, R₅ is hydrogen, and the pyrimidine moiety is pyrimidinone.

31. The pyrimidine derivative or analogue of claim 1 wherein L has the structure $-(CH_2)_n-$ wherein n is an integer from 1 to 6.

32. The pyrimidine derivative or analogue of claim 31 wherein n is 2.

33. The pyrimidine derivative or analogue of claim 31 wherein n is 3.

34. The pyrimidine derivative or analogue of claim 1 wherein the moiety B is – OZ.

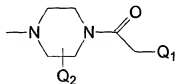
35. The pyrimidine derivative or analogue of claim 34 wherein Z is hydrogen.

36. The pyrimidine derivative or analogue of claim 34 wherein Z is alkyl.

37. The pyrimidine derivative or analogue of claim 36 wherein Z is selected from the group consisting of methyl, ethyl, butyl, propyl, and isopropyl.

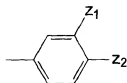
38. The pyrimidine derivative or analogue of claim 1 wherein the moiety B is N(Y₁)-D.
39. The pyrimidine derivative or analogue of claim 38 wherein Y₁ is hydrogen.
40. The pyrimidine derivative or analogue of claim 38 wherein Y₁ is lower alkyl.
41. The pyrimidine derivative or analogue of claim 40 wherein Y₁ is methyl.
42. The pyrimidine derivative or analogue of claim 38 wherein D is a moiety having at least one polar, charged, or hydrogen-bond-forming group to increase the water-solubility of the pyrimidine derivative or analogue.
43. The pyrimidine derivative or analogue of claim 42 wherein D is a carboxylic acid or carboxylic acid ester with the structure
- $$\text{---}(\text{CH}_2)_p\text{---}\overset{\text{O}}{\underset{\parallel}{\text{C}}}\text{---OW}_1$$
- wherein p is an integer from 1 to 6 and W₁ is selected from the group consisting of hydrogen and lower alkyl.
44. The pyrimidine derivative or analogue of claim 43 wherein W₁ is hydrogen.
45. The pyrimidine derivative or analogue of claim 43 wherein W₁ is ethyl.

46. The pyrimidine derivative or analogue of claim 42 wherein D and Y₁ are taken together to form a piperazine derivative of the structure



wherein Q₁ is hydrogen, methyl, ethyl, butyl, or propyl, and Q₂ is hydrogen or methyl, where, if Q₂ is methyl, it can be located at either of the two possible positions in the piperazine ring.

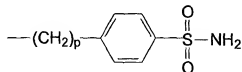
47. The pyrimidine derivative or analogue of claim 42 wherein D has the structure



where one of Z₁ and Z₂ is hydrogen, and the other of Z₁ and Z₂ is -COOH or -COOW₁, wherein W₁ is alkyl.

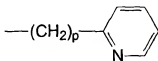
48. The pyrimidine derivative or analogue of claim 47 wherein W₁ is selected from the group consisting of methyl, ethyl, propyl, butyl, and isobutyl.

49. The pyrimidine derivative or analogue of claim 42 wherein D is a phenylsulfonamidyl moiety of the structure



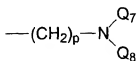
wherein p is an integer from 0 to 6.

50. The pyrimidine derivative or analogue of claim 42 wherein D is an alkylpyridyl moiety of structure



wherein p is an integer from 1 to 6.

51. The pyrimidine derivative or analogue of claim 42 wherein D is a dialkylaminoalkyl moiety of the structure



wherein p is an integer from 1 to 6 and Q₇ and Q₈ are alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, or heteroaroyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S, and when Q₇ and Q₈ are present together and are alkyl, they can be taken together to form a 5 or 6 member ring which may contain 1 other heteroatom which can be N, O, or S, of which the N may be further substituted with Y₂, where Y₂ is alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, aralkoxycarbonyl, heteroaralkoxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, heteroarylamino carbonyl, aralkylaminocarbonyl, or heteroaralkylaminocarbonyl, in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S.

52. The pyrimidine derivative or analogue of claim 51 wherein Q₇ and Q₈ are each alkyl.

53. The pyrimidine derivative or analogue of claim 52 wherein Q_7 and Q_8 are each selected from the group consisting of methyl, ethyl, propyl, and isopropyl.

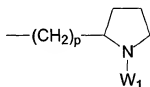
54. The pyrimidine derivative or analogue of claim 52 wherein Q_7 and Q_8 are taken together to form a five- or six-membered optionally substituted ring.

55. The pyrimidine derivative or analogue of claim 54 wherein the ring is a morpholinyl ring.

56. The pyrimidine derivative or analogue of claim 54 wherein the ring is a pyrrolidinyl ring that is optionally substituted with oxo.

57. The pyrimidine derivative or analogue of claim 54 wherein the ring is a piperidinyl ring that is optionally substituted with methyl or ethyl.

58. The pyrimidine derivative or analogue of claim 42 wherein D is an alkylpyrrolidinyl moiety of the structure



wherein p is an integer from 1 to 6 and W_1 is selected from the group consisting of methyl, ethyl, and propyl.

59. The pyrimidine derivative or analogue of claim 1 that has a logP of from about 1 to about 4.

60. A pyrimidine derivative or analogue that is 4-[3-(2-amino-6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid ethyl ester.

61. A pyrimidine derivative or analogue that is 4-[3-(6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid ethyl ester.

62. A pyrimidine derivative or analogue that is 4-[3-(5-amino-6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid ethyl ester.

63. A pyrimidine derivative or analogue that is 4-[3-(2-amino-6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid.

64. A pyrimidine derivative or analogue that is 4-[3-(6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid.

65. A pyrimidine derivative or analogue that is 4-[3-(5-amino-6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid.

66. A pyrimidine derivative or analogue that is 3-[3-(2-amino-6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid ethyl ester.

67. A pyrimidine derivative or analogue that is 3-[3-(6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid ethyl ester.

68. A pyrimidine derivative or analogue that is 3-[3-(5-amino-6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid ethyl ester.

69. A pyrimidine derivative or analogue that is 3-[3-(2-amino-6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid.

70. A pyrimidine derivative or analogue that is 3-[3-(6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid.

71. A pyrimidine derivative or analogue that is 3-[3-(5-amino-6-chloropyrimidin-4-ylamino)propionylamino] benzoic acid.